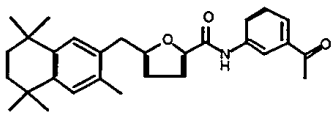
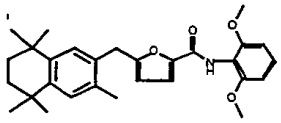
6. A compound having a formula selected from the group consisting of:



and

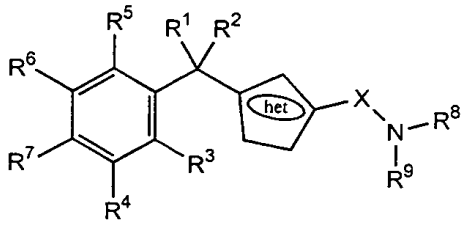


or a pharmaceutically acceptable salt, multimer, prodrug, or active metabolite thereof.

7. A pharmaceutical composition comprising: a therapeutically effective amount of a compound, pharmaceutically acceptable salt, multimer, prodrug, or active metabolite as defined in any of claims 1-6; and a pharmaceutically acceptable carrier or diluent.

8. A method for regulating the secretion of gonadotropins in mammals, comprising administering a therapeutically effective amount of a compound, pharmaceutically acceptable salt, multimer, prodrug, or active metabolite as defined in any of claims 1-6.

9. A compound of the Formula I:



I

where X is selected from C=O, C=S, S=O, and $S(O)_2$;

is a 5-membered heterocyclic ring containing from 1 to 4 heteroatoms selected from N, O, and S, wherein the ring may be saturated, partially unsaturated, or fully unsaturated, and may be aromatic;

R^1 and R^2 are independently selected from H and lower alkyl;

R^3 is selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH_2OR, OR, and C(O)OR, where R is selected from substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, and heteroaryl, and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12;

R^4 and R^5 are independently selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH_2OR, OR, and C(O)OR, where R is as defined above; and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12;

R^6 and R^7 are independently selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH_2OR, OR, and C(O)OR; where R is as defined above, and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12; or R^6 and R^7 taken together with the atoms to which they are bonded form an optionally substituted 5- or 6-membered ring optionally having up to four heteroatoms selected from O, N, and S;

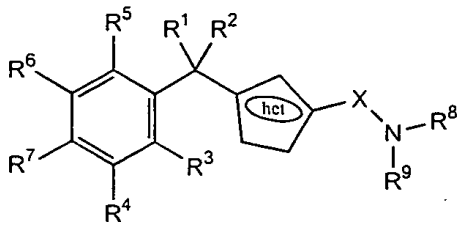
wherein at least one of R^3, R^4, R^5, R^6, and R^7 is other than hydrogen;

R^8 is a lipophilic moiety selected from substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH_2OR, OR, and C(O)OR, where R is as defined above, and where the total number of carbon atoms present (not including any optional substituents) ranges from 6 to 20; and

R^9 is selected from H and substituted and unsubstituted alkyl;

or a pharmaceutically acceptable salt, multimer, prodrug, or active metabolite thereof.

10. A compound of Formula I:



I

where X is selected from C=O, C=S, S=O, and $S(O)_2$;

is a 5-membered heterocyclic ring containing from 1 to 4 heteroatoms selected from N, O, and S, wherein the ring may be saturated, partially unsaturated, or fully unsaturated, and may be aromatic;

R^1 and R^2 are independently selected from H and lower alkyl;

R^3 is selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH_2OR, OR, and C(O)OR, where R is selected from substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, and heteroaryl, and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12;

R^4 and R^5 are independently selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH_2OR, OR, and C(O)OR, where R is as defined above; and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12;

R^6 and R^7 are independently selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH_2OR, OR, and C(O)OR; where R is as defined above, and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12; or R^6 and R^7 taken together with the atoms to which they are bonded form an optionally substituted 5- or 6-membered ring optionally having up to four heteroatoms selected from O, N, and S;

wherein at least one of R^3, R^4, R^5, R^6, and R^7 is other than hydrogen;

R^8 is a lipophilic moiety selected from substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH_2OR, OR, and C(O)OR,

where R is as defined above, and where the total number of carbon atoms present (not including any optional substituents) ranges from 6 to 20; and

R^9 is selected from H and substituted and unsubstituted alkyl;

or R^1 or R^2 can be -OH or =O; and/or R^8 can also be hydrogen;

and/or R can be COR or hydrogen; and/or R8 can have any desired number of carbon atoms;

and/or R^8 ad R^9 can also form a ring; and/or any adjacent R groups, such as R^5 and R^6 or R^3 and R^4 can form a ring, such as those described for R^6 and R^7;

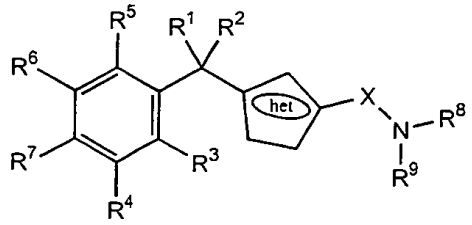
and/or R^6 can be COR; and/or the (het) group can be substituted or unsubstituted.

or R^8 and/or R^9 can be selected from heterocyclic groups or any compound that forms an amide bond with the nitrogen of Formula I;

or a pharmaceutically acceptable salt, multimer, prodrug, or active metabolite thereof.

11. A pharmaceutical composition comprising:

(a) therapeutically effective amount of a compound of the Formula I:



I

where X is selected from C=O, C=S, S=O, and $S(O)_2$;

is a 5-membered heterocyclic ring containing from 1 to 4 heteroatoms selected from N, O, and S, wherein the ring may be saturated, partially unsaturated, or fully unsaturated, and may be aromatic;

R^1 and R^2 are independently selected from H and lower alkyl;

R^3 is selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH_2OR, OR, and C(O)OR, where R is selected from substituted and unsubstituted alkyl, alkenyl, alkynyl,

cycloalkyl, heterocycle, aryl, and heteroaryl, and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12;

R^4 and R^5 are independently selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH_2OR, OR, and C(O)OR, where R is as defined above; and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12;

R^6 and R^7 are independently selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH_2OR, OR, and C(O)OR; where R is as defined above, and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12; or R^6 and R^7 taken together with the atoms to which they are bonded form an optionally substituted 5- or 6-membered ring optionally having up to four heteroatoms selected from O, N, and S;

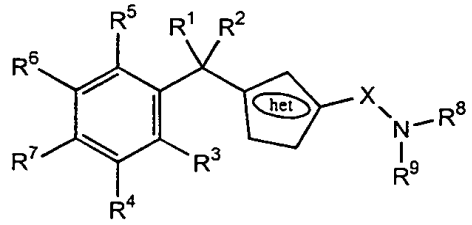
R^8 is a lipophilic moiety selected from substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH_2OR, OR, and C(O)OR, where R is as defined above, and where the total number of carbon atoms present (not including any optional substituents) ranges from 6 to 20;

R^9 is selected from H and substituted and unsubstituted alkyl; or

a pharmaceutically acceptable salt, multimer, prodrug, or active metabolite thereof; and

(b) a pharmaceutically acceptable carrier or diluent.

12. A method for regulating the secretion of gonadotropins in mammals, comprising administering to a mammal in need of such regulation, a therapeutically effective amount of a compound of the Formula I:



I

where X is selected from C=O, C=S, S=O, and $S(O)_2$;

is a 5-membered heterocyclic ring containing from 1 to 4 heteroatoms selected from N, O, and S, wherein the ring may be saturated, partially unsaturated, or fully unsaturated, and may be aromatic;

R^1 and R^2 are independently selected from H and lower alkyl;

R^3 is selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH_2OR, OR, and C(O)OR, where R is selected from substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, and heteroaryl, and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12;

R^4 and R^5 are independently selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH_2OR, OR, and C(O)OR, where R is as defined above; and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12;

R^6 and R^7 are independently selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH_2OR, OR, and C(O)OR; where R is as defined above, and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12; or R^6 and R^7 taken together with the atoms to which they are bonded form an optionally substituted 5- or 6-membered ring optionally having up to four heteroatoms selected from O, N, and S;

R^8 is a lipophilic moiety selected from substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH_2OR, OR, and C(O)OR, where R is as defined above, and where the total number of carbon atoms present (not including any optional substituents) ranges from 6 to 20;

R^9 is selected from H and substituted and unsubstituted alkyl; or

a pharmaceutically acceptable salt, multimer, prodrug, or active metabolite thereof.